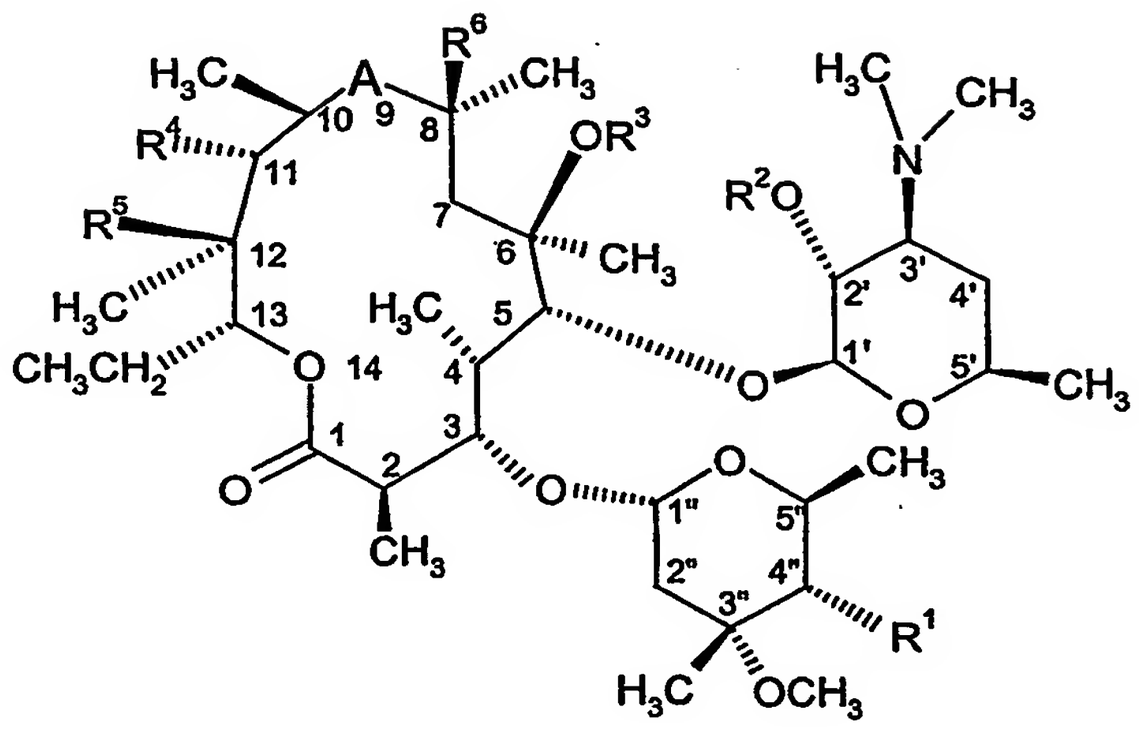
CLAIMS

1. A compound of formula (I)



(I)

wherein

A is a bivalent radical selected from -C(O)-, -C(O)NH-, -NHC(O)-, -N(R^7)-CH_2-, -CH_2-N(R^7)-, -CH(NR^8R^9)- and -C(=NR^{10})-;

R^1 is -O$(CH_2)_dXR^{11}$;

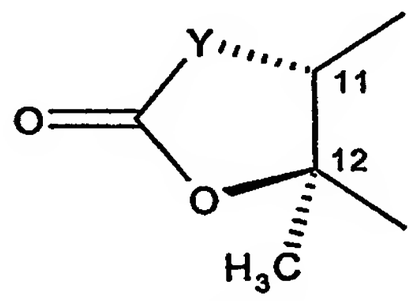
R^2 is hydrogen or a hydroxyl protecting group;

R^3 is hydrogen, C_{1-4}alkyl, or C_{3-6}alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl;

R^4 is hydroxy, C_{3-6}alkenyloxy optionally substituted by 9 to 10 membered fused bicyclic heteroaryl, or C_{1-6}alkoxy optionally substituted by C_{1-6}alkoxy or -O$(CH_2)_eNR^7R^{12}$,

R^5 is hydroxy, or

R^4 and R^5 taken together with the intervening atoms form a cyclic group having the following structure:



wherein Y is a bivalent radical selected from -CH_2-, -CH(CN)-, -O-, -N(R^{13})- and -CH(SR^{13})-;

R^6 is hydrogen or fluorine;

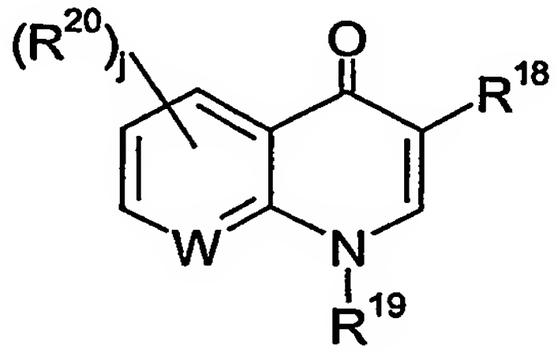
R^7 is hydrogen or C_{1-6}alkyl;

R^8 and R^9 are each independently hydrogen, C_{1-6}alkyl, -C(=NR^{10})$NR^{14}R^{15}$ or -C(O)R^{14}, or

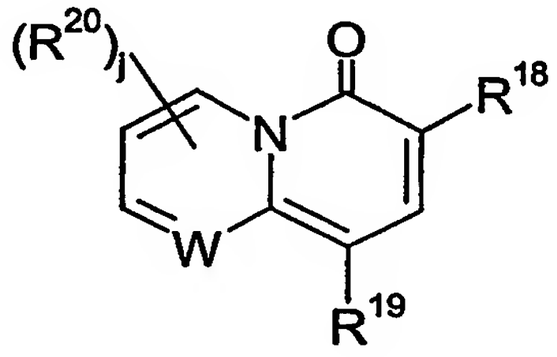
R^8 and R^9 together form $=CH(CR^{14}R^{15})_f$aryl, $=CH(CR^{14}R^{15})_f$heterocyclyl, $=CR^{14}R^{15}$ or $=C(R^{14})C(O)OR^{14}$, wherein the alkyl, aryl and heterocyclyl groups are optionally substituted by up to three groups independently selected from R^{16};

R^{10} is $-OR^{17}$, C_{1-6}alkyl, $-(CH_2)_g$aryl, $-(CH_2)_g$heterocyclyl or $-(CH_2)_hO(CH_2)_iOR^7$, wherein each R^{10} group is optionally substituted by up to three groups independently selected from R^{16};

R^{11} is a heterocyclic group having the following structure:



or



R^{12} is hydrogen or C_{1-6}alkyl;

R^{13} is hydrogen or C_{1-4}alkyl optionally substituted by a group selected from optionally substituted phenyl, optionally substituted 5 or 6 membered heteroaryl and optionally substituted 9 to 10 membered fused bicyclic heteroaryl;

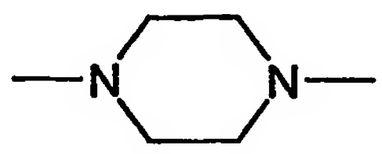
R^{14} and R^{15} are each independently hydrogen or C_{1-6}alkyl;

R^{16} is halogen, cyano, nitro, trifluoromethyl, azido, $-C(O)R^{21}$, $-C(O)OR^{21}$, $-OC(O)R^{21}$, $-OC(O)OR^{21}$, $-NR^{22}C(O)R^{23}$, $-C(O)NR^{22}R^{23}$, $-NR^{22}R^{23}$, hydroxy, C_{1-6}alkyl, $-S(O)_kC_{1-6}$alkyl, C_{1-6}alkoxy, $-(CH_2)_m$aryl or $-(CH_2)_m$heteroaryl, wherein the alkoxy group is optionally substituted by up to three groups independently selected from $-NR^{14}R^{15}$, halogen and $-OR^{14}$, and the aryl and heteroaryl groups are optionally substituted by up to five groups independently selected from halogen, cyano, nitro, trifluoromethyl, azido, $-C(O)R^{24}$, $-C(O)OR^{24}$, $-OC(O)OR^{24}$, $-NR^{25}C(O)R^{26}$, $-C(O)NR^{25}R^{26}$, $-NR^{25}R^{26}$, hydroxy, C_{1-6}alkyl and C_{1-6}alkoxy;

R^{17} is hydrogen, C_{1-6}alkyl, C_{3-7}cycloalkyl, C_{3-6}alkenyl or a 5 or 6 membered heterocyclic group, wherein the alkyl, cycloalkyl, alkenyl and heterocyclic groups are optionally substituted by up to three substituents independently selected from optionally substituted 5 or 6 membered heterocyclic group, optionally substituted 5 or 6 membered heteroaryl, $-OR^{27}$, $-S(O)_nR^{27}$, $-NR^{27}R^{28}$, $-CONR^{27}R^{28}$, halogen and cyano;

R^{18} is hydrogen, $-C(O)OR^{29}$, $-C(O)NHR^{29}$, $-C(O)CH_2NO_2$ or $-C(O)CH_2SO_2R^7$;

R^{19} is hydrogen, C_{1-4}alkyl optionally substituted by hydroxy or C_{1-4}alkoxy, C_{3-7}cycloalkyl, or optionally substituted phenyl or benzyl;
R^{20} is halogen, C_{1-4}alkyl, C_{1-4}thioalkyl, C_{1-4}alkoxy, $-NH_2$, $-NH(C_{1-4}alkyl)$ or $-N(C_{1-4}alkyl)_2$;
R^{21} is hydrogen, C_{1-10}alkyl, $-(CH_2)_p$aryl or $-(CH_2)_p$heteroaryl;
R^{22} and R^{23} are each independently hydrogen, $-OR^{14}$, C_{1-6}alkyl, $-(CH_2)_q$aryl or $-(CH_2)_q$heterocyclyl;
R^{24} is hydrogen, C_{1-10}alkyl, $-(CH_2)_r$aryl or $-(CH_2)_r$heteroaryl;
R^{25} and R^{26} are each independently hydrogen, $-OR^{14}$, C_{1-6}alkyl, $-(CH_2)_s$aryl or $-(CH_2)_s$heterocyclyl;
R^{27} and R^{28} are each independently hydrogen, C_{1-4}alkyl or C_{1-4}alkoxyC_{1-4}alkyl;
R^{29} is hydrogen,
C_{1-6}alkyl optionally substituted by up to three groups independently selected from halogen, cyano, C_{1-4}alkoxy optionally substituted by phenyl or C_{1-4}alkoxy, $-C(O)C_{1-6}$alkyl, $-C(O)OC_{1-6}$alkyl, $-OC(O)C_{1-6}$alkyl, $-OC(O)OC_{1-6}$alkyl, $-C(O)NR^{32}R^{33}$, $-NR^{32}R^{33}$ and phenyl optionally substituted by nitro or $-C(O)OC_{1-6}$alkyl,
$-(CH_2)_wC_{3-7}$cycloalkyl,
$-(CH_2)_w$heterocyclyl,
$-(CH_2)_w$heteroaryl,
$-(CH_2)_w$aryl,
C_{3-6}alkenyl, or
C_{3-6}alkynyl;
R^{30} is hydrogen, C_{1-4}alkyl, C_{3-7}cycloalkyl, optionally substituted phenyl or benzyl, acetyl or benzoyl;
R^{31} is hydrogen or R^{20}, or R^{31} and R^{19} are linked to form the bivalent radical $-O(CH_2)_2-$ or $-(CH_2)_t-$;
R^{32} and R^{33} are each independently hydrogen or C_{1-6}alkyl optionally substituted by phenyl or $-C(O)OC_{1-6}$alkyl, or
R^{32} and R^{33}, together with the nitrogen atom to which they are bound, form a 5 or 6 membered heterocyclic group optionally containing one additional heteroatom selected from oxygen, nitrogen and sulfur;
X is $-U(CH_2)_vB-$, $-U(CH_2)_v-$ or a group selected from:



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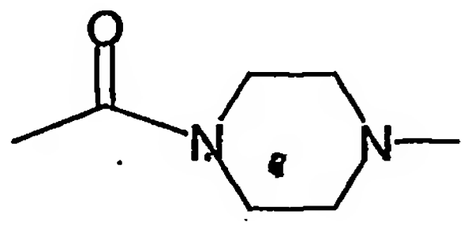
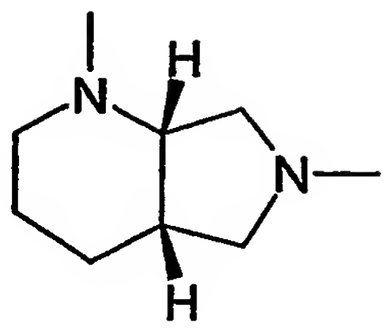


,

and



U and B are independently a divalent radical selected from -N(R^{30})-, -O-, -S(O)$_z$-, -N(R^{30})C(O)-, -C(O)N(R^{30})- and -N[C(O)R^{30}]-;

W is -C(R^{31})- or a nitrogen atom;

d is an integer from 2 to 6;

e is an integer from 2 to 4;

f, g, h, m, p, q, r, s and w are each independently integers from 0 to 4;

i is an integer from 1 to 6;

j, k, n and z are each independently integers from 0 to 2;

t is 2 or 3;

v is an integer from 1 to 8;

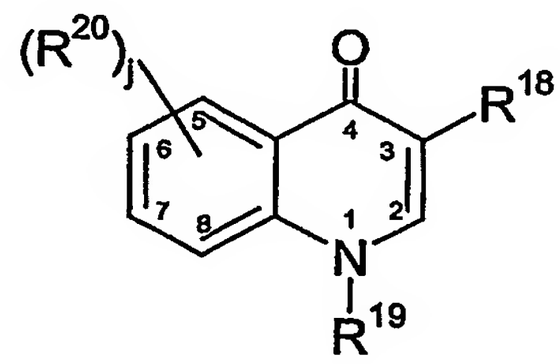
or a pharmaceutically acceptable derivative thereof.

2. A compound according to claim 1 wherein A is -C(O)- or -N(R^7)-CH_2-.

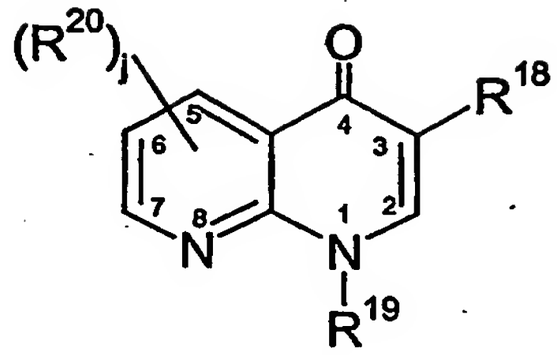
3. A compound according to claim 1 or claim 2 wherein X is -U(CH_2)$_v$B- or -U(CH_2)$_v$.

4. A compound according to any one of the preceding claims wherein d is 2 or 3.

5. A compound according to any one of the preceding claims wherein R^{11} is a heterocyclic group of the following formula:

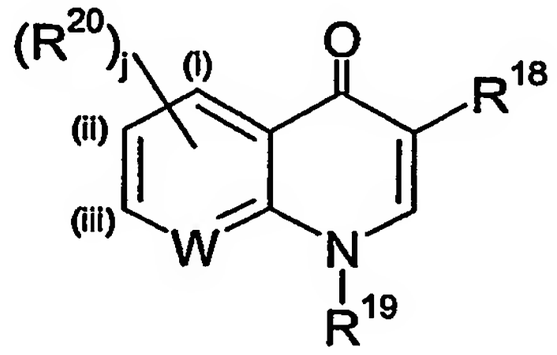


or



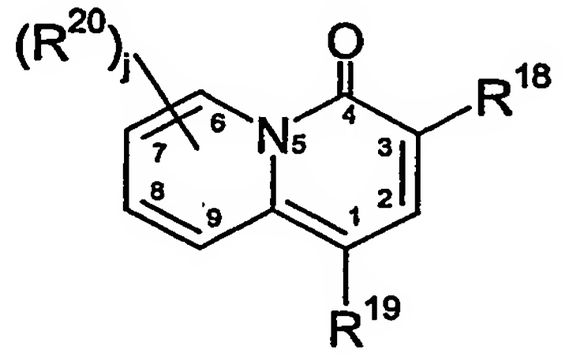
wherein the heterocyclic is linked in the 6 or 7 position and j, R^{18}, R^{19} and R^{20} are as defined in claim 1;

a heterocyclic group of the following formula:



wherein the heterocyclic is linked in the (ii) or (iii) position, W is $-C(R^{31})-$ and R^{31} and R^{19} are linked to form the bivalent radical $-(CH_2)_t-$ as defined in claim 1, and j, R^{18}, R^{19} and R^{20} are as defined in claim 1; or

a heterocyclic group of the following formula:



wherein the heterocyclic is linked in the 7 or 8 position and j, R^{18}, R^{19} and R^{20} are as defined in claim 1.

6. A compound according to claim 1 as defined in any one of Examples 1 to 42, or a pharmaceutically acceptable derivative thereof.

7. A compound selected from:

4"-*O*-(2-{[2-(3-carboxy-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinolin-7-ylamino)-ethyl]-methylamino}-ethyl)-6-*O*-methyl-erythromycin A 11,12-carbonate;

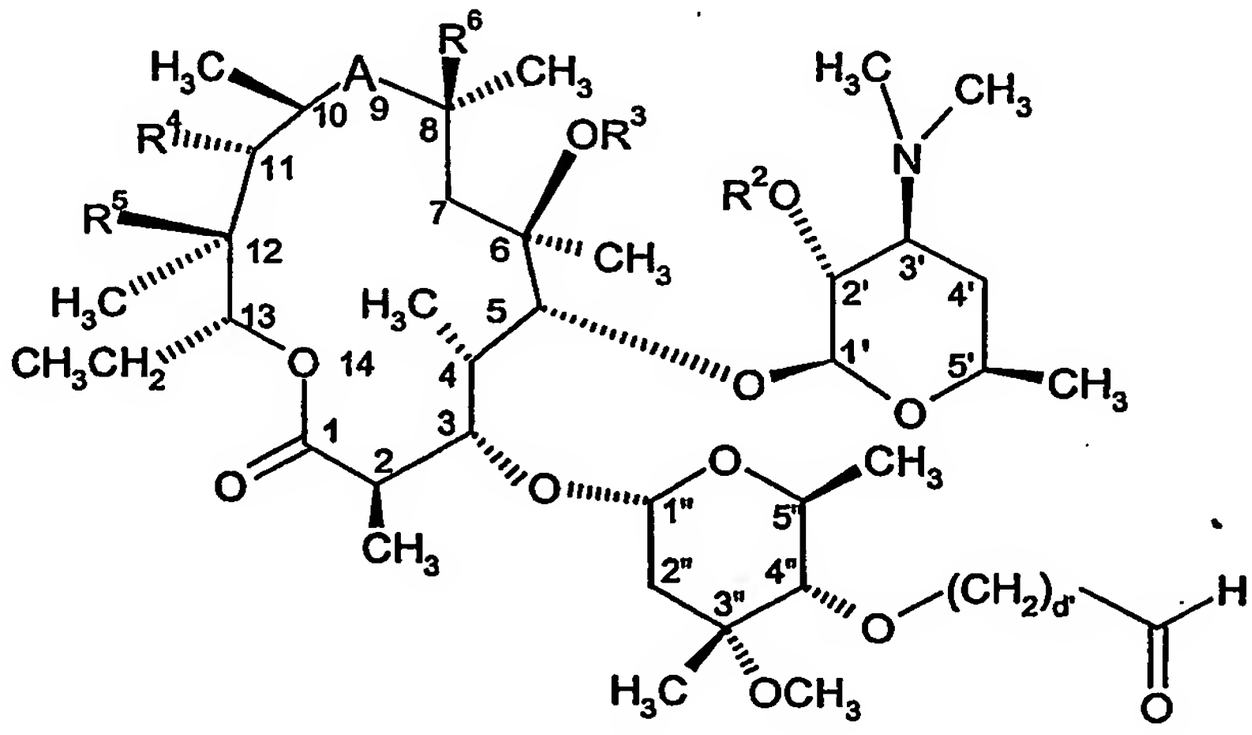
4"-*O*-(3-{[2-(3-carboxy-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinolin-7-ylamino)ethyl]-methylamino}-propyl)-6-*O*-methyl-erythromycin A 11,12-carbonate;

4"-*O*-{3-[2-(2-carboxy-1-oxo-6,7-dihydro-1*H*,5*H*-pyrido[3,2,1-*ij*]quinoline-9-yloxy)-ethylamino]-propyl}-6-*O*-methyl-erythromycin A 11,12-carbonate;

4"-*O*-(3-{[3-(3-carboxy-1-ethyl-4-oxo-1,4-dihydro-quinolin-6-yl)propyl]-methylamino}-propyl)-6-*O*-methyl-erythromycin A 11,12-carbonate;
4"-*O*-(3-{[2-(3-carboxy-1-ethyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridin-7-ylamino)ethyl]-methylamino}-propyl)-6-*O*-methyl-erythromycin A 11,12-carbonate;
4"-*O*-{2-[2-(3-carboxy-1-ethyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridin-7-ylamino)ethyl]-methylamino}-ethyl }-6-*O*-methyl-erythromycin A;
4"-*O*-{3-[[3-(3-carboxy-1-ethyl-4-oxo-1,4-dihydro-quinolin-6-yl)-propyl]-methylamino]-propyl}-6-*O*-methyl-11-desoxy-11-(R)-amino-erythromycin A 11,12-carbamate;
4"-*O*-{3-[[2-(3-carboxy-1-ethyl-4-oxo-1,4-dihydro-quinolin-6-ylsulfanyl)-ethyl]-methylamino]-propyl}-6-*O*-methyl-11-desoxy-11-(R)-amino-erythromycin A 11,12-carbamate;
4"-*O*-{3-[2-(3-carboxy-7-chloro-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-6-ylamino)-ethylcarbamoyl]-propyl}-azithromycin;
4"-*O*-{2-[2-(3-carboxy-6-fluoro-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-7-ylamino)-ethylamino]-ethyl}-azithromycin 11,12-cyclic carbonate;
4"-*O*-{2-[2-(3-carboxy-7-chloro-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-6-ylamino)-ethylamino]-ethyl}-azithromycin; and
4"-*O*-{2-[2-(3-carboxy-6-fluoro-1-cyclopropyl-4-oxo-1,4-dihydro-quinolin-7-ylamino)-ethylamino]-ethyl}-azithromycin;
or a pharmaceutically acceptable derivative thereof.

8. A process for the preparation of a compound as claimed in claim 1 which comprises:

a) reacting a compound of formula (II)



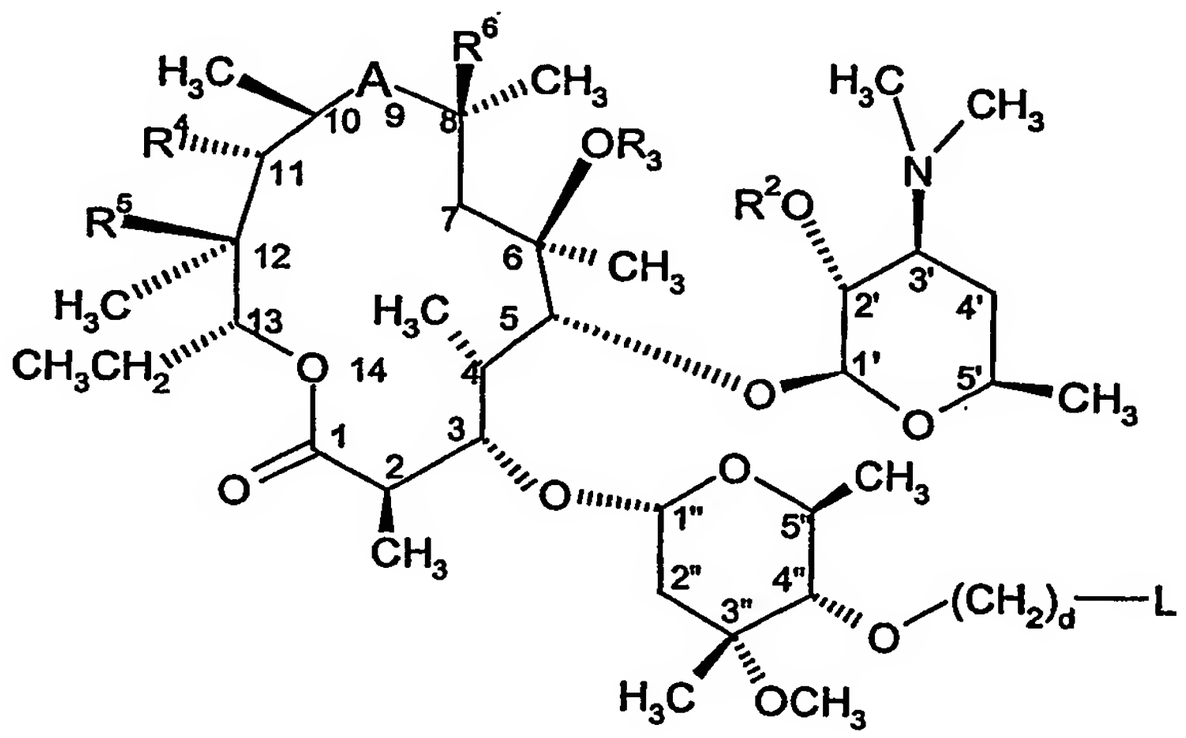
(II)

$HN(R^{30})(CH_2)_vB^aR^{11a}$ (IIIa)

$HN(R^{30})(CH_2)_vR^{11a}$ (IIIb)

with a suitable amine (IIIa) or (IIIb), wherein B^a and R^{11a} are B and R^{11} as defined in claim 1 or groups convertible to B and R^{11};

b) reacting a compound of formula (V)

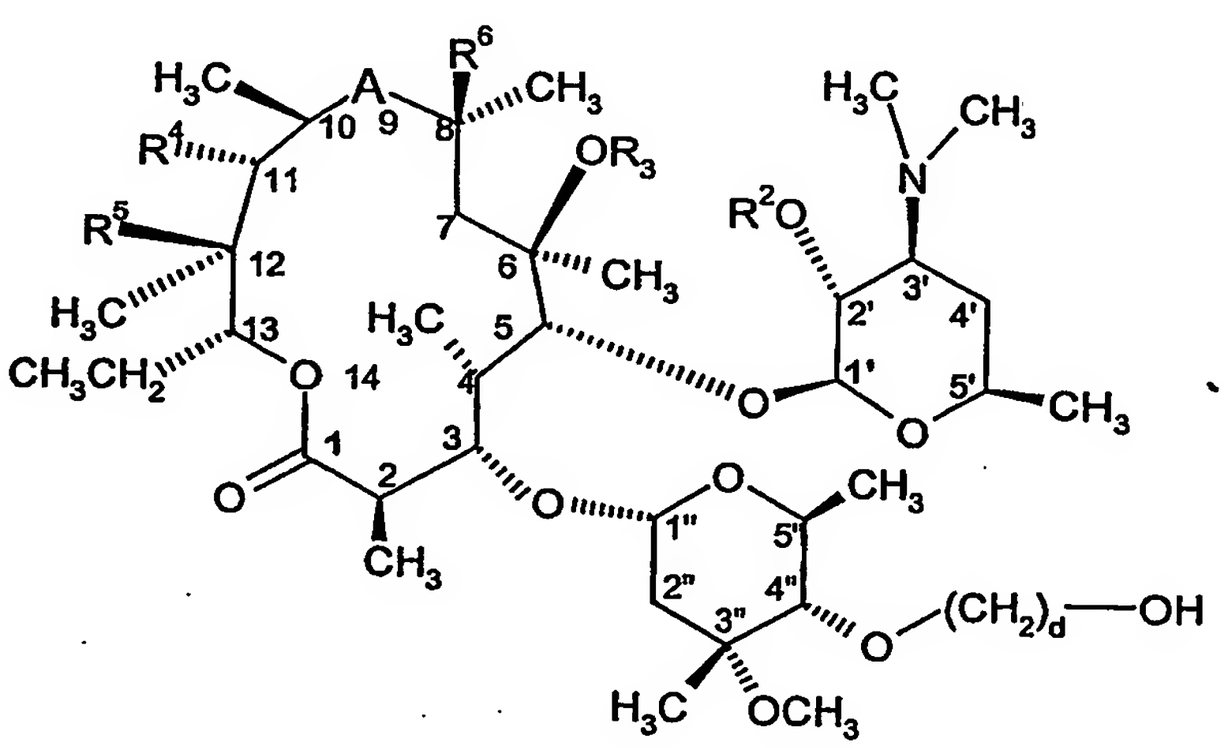


(V)

with a compound of formula X^aR^{11a} (IV), wherein R^{11a} is R^{11} as defined in claim 1 or a group convertible to R^{11} and X^a is $-U(CH_2)_v-$ or $-U(CH_2)_vB-$, or a group convertible to $-U(CH_2)_v-$ or $-U(CH_2)_vB-$, in which U is a group selected from $-N(R^{30})-$ and -S-, and L is suitable leaving group, to produce a compound of formula (I) wherein U is a group selected from $-N(R^{30})-$ and -S-;

c) converting one compound of formula (I) into another compound of formula (I);

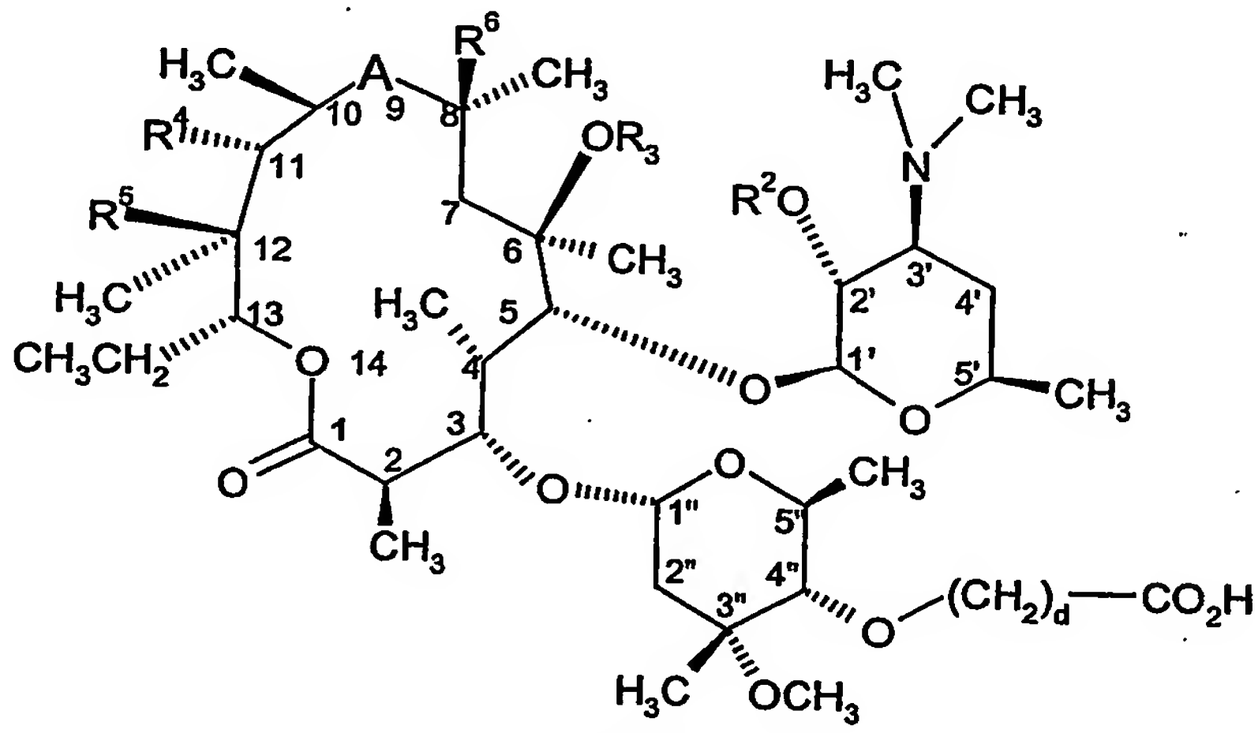
d) where U is -O-, reacting a compound of formula (VII)



(VII)

with a suitable compound of formula X^aR^{11a} in the presence of a catalyst; or

e) where U is -C(O)N(R^{30})-, reacting a compound of formula (VIII)



(VIII)

with a suitable amine compound,

and thereafter, if required, subjecting the resulting compound to one or more of the following operations:

i) removal of the protecting group R^2,

ii) conversion of X^aR^{11a} to XR^{11},

iii) conversion of B^aR^{11a} to R^{11},

iv) conversion of R^{11a} to R^{11},

and

v) conversion of the resultant compound of formula (I) into a pharmaceutically acceptable derivative thereof.

9. A compound as claimed in any one of claims 1 to 7 for use in therapy.

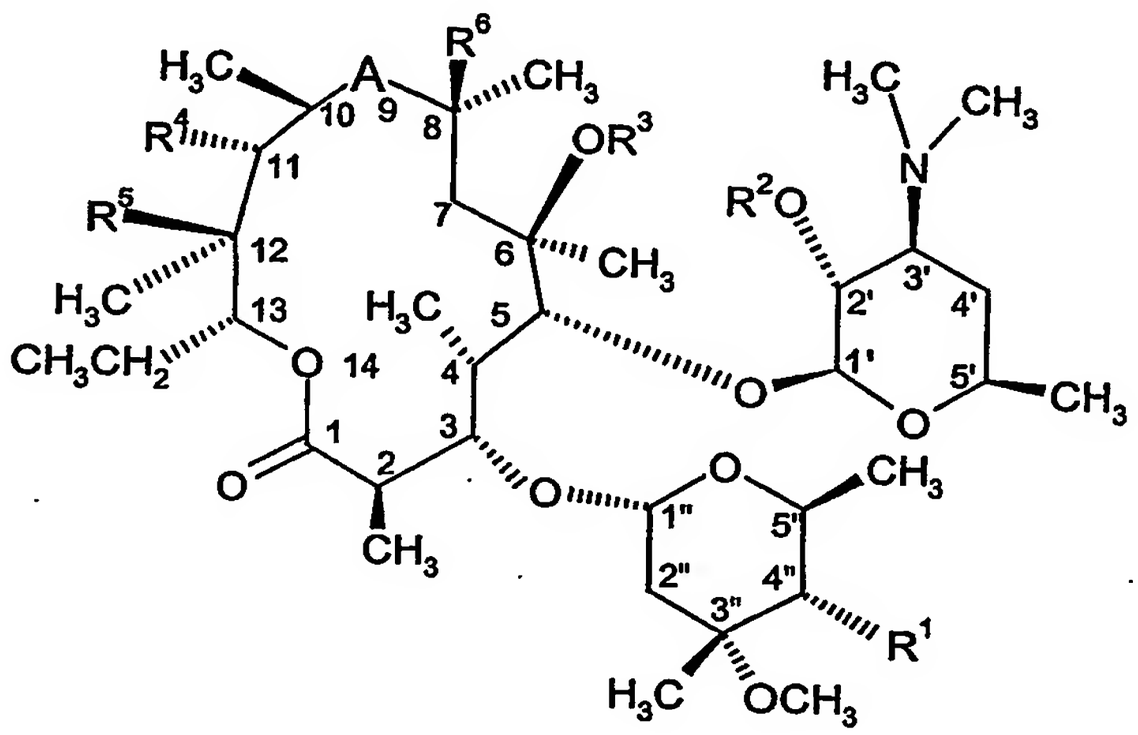
10. The use of a compound as claimed in any one of claims 1 to 7 in the manufacture of a medicament for use in the treatment or prophylaxis of systemic or topical microbial infections in a human or animal body.

11. The use of a compound as claimed in any one of claims 1 to 7 for use in the treatment or prophylaxis of systemic or topical microbial infections in a human or animal body.

12. A method for the treatment of the human or non-human animal body to comba microbial infection comprising administration to a body in need of such treatment of ar effective amount of a compound as claimed in any one of claims 1 to 7.

13. A pharmaceutical composition comprising at least one compound as claimed ir any one of claims 1 to 7 in association with a pharmaceutically acceptable excipient, diluent and/or carrier.

14. A compound of formula (IA)



(IA)

wherein

A is a bivalent radical selected from -C(O)-, -C(O)NH-, -NHC(O)-, -N(R^7)-CH_2-, -CH_2-N(R^7)-, -CH(NR^8R^9)- and -C(=NR^{10})-;

R^1 is -O$(CH_2)_d$XR^{11};

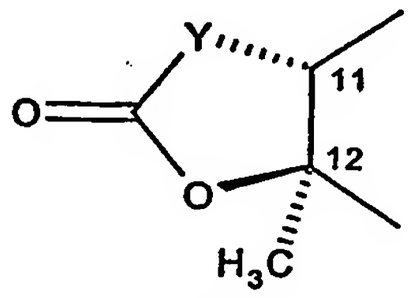
R^2 is hydrogen or a hydroxyl protecting group;

R^3 is hydrogen, C_{1-4}alkyl, or C_{3-6}alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl;

R^4 is hydroxy, C_{3-6}alkenyloxy optionally substituted by 9 to 10 membered fused bicyclic heteroaryl, or C_{1-6}alkoxy optionally substituted by C_{1-6}alkoxy or -O$(CH_2)_e$NR^7R^{12},

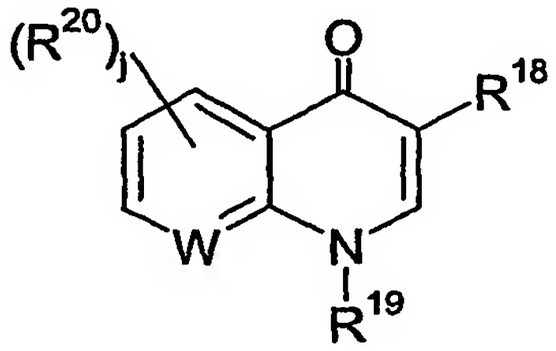
R^5 is hydroxy, or

R^4 and R^5 taken together with the intervening atoms form a cyclic group having the following structure:

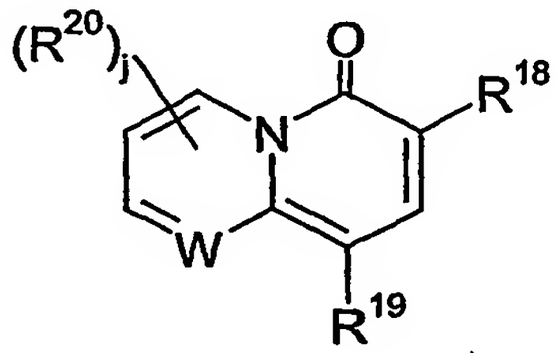


wherein Y is a bivalent radical selected from -CH_2-, -CH(CN)-, -O-, -N(R^{13})- and -CH(SR^{13})-;

R^6 is hydrogen or fluorine;
R^7 is hydrogen or C_{1-6}alkyl;
R^8 and R^9 are each independently hydrogen, C_{1-6}alkyl, $-C(=NR^{10})NR^{14}R^{15}$ or $-C(O)R^{14}$, or
R^8 and R^9 together form $=CH(CR^{14}R^{15})_f$aryl, $=CH(CR^{14}R^{15})_f$heterocyclyl, $=CR^{14}R^{15}$ or $=C(R^{14})C(O)OR^{14}$, wherein the alkyl, aryl and heterocyclyl groups are optionally substituted by up to three groups independently selected from R^{16};
R^{10} is $-OR^{17}$, C_{1-6}alkyl, $-(CH_2)_g$aryl, $-(CH_2)_g$heterocyclyl or $-(CH_2)_hO(CH_2)_iOR^7$, wherein each R^{10} group is optionally substituted by up to three groups independently selected from R^{16};
R^{11} is a heterocyclic group having the following structure:

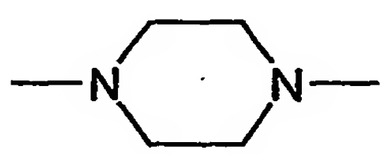


or

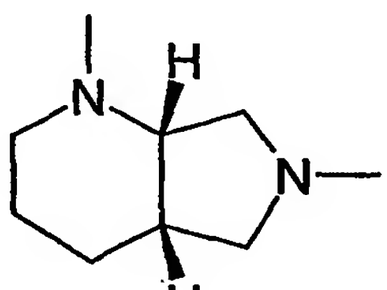


R^{12} is hydrogen or C_{1-6}alkyl;
R^{13} is hydrogen or C_{1-4}alkyl substituted by a group selected from optionally substituted phenyl, optionally substituted 5 or 6 membered heteroaryl and optionally substituted 9 to 10 membered fused bicyclic heteroaryl;
R^{14} and R^{15} are each independently hydrogen or C_{1-6}alkyl;
R^{16} is halogen, cyano, nitro, trifluoromethyl, azido, $-C(O)R^{21}$, $-C(O)OR^{21}$, $-OC(O)R^{21}$, $-OC(O)OR^{21}$, $-NR^{22}C(O)R^{23}$, $-C(O)NR^{22}R^{23}$, $-NR^{22}R^{23}$, hydroxy, C_{1-6}alkyl, $-S(O)_kC_{1-6}$alkyl, C_{1-6}alkoxy, $-(CH_2)_m$aryl or $-(CH_2)_m$heteroaryl, wherein the alkoxy group is optionally substituted by up to three groups independently selected from $-NR^{14}R^{15}$, halogen and $-OR^{14}$, and the aryl and heteroaryl groups are optionally substituted by up to five groups independently selected from halogen, cyano, nitro, trifluoromethyl, azido, $-C(O)R^{24}$, $-C(O)OR^{24}$, $-OC(O)OR^{24}$, $-NR^{25}C(O)R^{26}$, $-C(O)NR^{25}R^{26}$, $-NR^{25}R^{26}$, hydroxy, C_{1-6}alkyl and C_{1-6}alkoxy;
R^{17} is hydrogen, C_{1-6}alkyl, C_{3-7}cycloalkyl, C_{3-6}alkenyl or a 5 or 6 membered heterocyclic group, wherein the alkyl, cycloalkyl, alkenyl and heterocyclic groups are optionally substituted by up to three substituents independently selected from optionally

substituted 5 or 6 membered heterocyclic group, optionally substituted 5 or 6 membered heteroaryl, $-OR^{27}$, $-S(O)_nR^{27}$, $-NR^{27}R^{28}$, $-CONR^{27}R^{28}$, halogen and cyano;
R^{18} is hydrogen, $-C(O)OR^{29}$, $-C(O)NHR^{29}$ or $-C(O)CH_2NO_2$;
R^{19} is hydrogen, C_{1-4}alkyl optionally substituted by hydroxy or C_{1-4}alkoxy, C_{3-7}cycloalkyl, or optionally substituted phenyl or benzyl;
R^{20} is halogen, C_{1-4}alkyl, C_{1-4}thioalkyl, C_{1-4}alkoxy, $-NH_2$, $-NH(C_{1-4}alkyl)$ or $-N(C_{1-4}alkyl)_2$;
R^{21} is hydrogen, C_{1-10}alkyl, $-(CH_2)_p$aryl or $-(CH_2)_p$heteroaryl;
R^{22} and R^{23} are each independently hydrogen, $-OR^{14}$, C_{1-6}alkyl, $-(CH_2)_q$aryl or $-(CH_2)_q$heterocyclyl;
R^{24} is hydrogen, C_{1-10}alkyl, $-(CH_2)_r$aryl or $-(CH_2)_r$heteroaryl;
R^{25} and R^{26} are each independently hydrogen, $-OR^{14}$, C_{1-6}alkyl, $-(CH_2)_s$aryl or $-(CH_2)_s$heterocyclyl;
R^{27} and R^{28} are each independently hydrogen, C_{1-4}alkyl or C_{1-4}alkoxyC_{1-4}alkyl;
R^{29} is hydrogen or C_{1-6}alkyl optionally substituted by up to three groups independently selected from halogen, C_{1-4}alkoxy, $-OC(O)C_{1-6}$alkyl and $-OC(O)OC_{1-6}$alkyl;
R^{30} is hydrogen, C_{1-4}alkyl, C_{3-7}cycloalkyl, optionally substituted phenyl or benzyl, acetyl or benzoyl;
R^{31} is hydrogen or R^{20}, or R^{31} and R^{19} are linked to form the bivalent radical $-O(CH_2)_2-$ or $-(CH_2)_t-$;
X is $-U(CH_2)_vB-$, $-U(CH_2)_v-$ or a group selected from:



and



U and B are independently a divalent radical selected from $-N(R^{30})-$, $-O-$, $-S(O)_z-$, $-N(R^{30})C(O)-$, $-C(O)N(R^{30})-$ and $-N[C(O)R^{30}]-$;
W is $-C(R^{31})-$ or a nitrogen atom;
d is an integer from 2 to 6;
e is an integer from 2 to 4;
f, g, h, m, p, q, r and s are each independently integers from 0 to 4;
i is an integer from 1 to 6;
j, k, n and z are each independently integers from 0 to 2;
t is 2 or 3;
v is an integer from 2 to 8;

or a pharmaceutically acceptable derivative thereof.